

INTERNATIONAL SEARCH REPORT

Int. Patent Application No.
PCT/US 99/29946

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D401/14 C07D401/12 C07D417/14 C07D409/14 C07D405/14
C07D213/74 A61K31/395 A61K31/435 A61K31/495 A61P7/02
/(C07D401/14, 213:00, 213:00, 211:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, Y	WO 99 00128 A (BEIGHT DOUGLAS WADE ;GOODSON THEODORE JUNIOR (US); HERRON DAVID KE) 7 January 1999 (1999-01-07) page 3, line 10 -page 5, line 20; claim 1; example 23	1
P, Y	WO 99 00121 A (BEIGHT DOUGLAS WADE ;GOODSON THEODORE JR (US); HERRON DAVID KENT ()) 7 January 1999 (1999-01-07) page 3, line 10 -page 5, line 23; claim 1	1
P, Y	WO 99 32477 A (SCHERING AG) 1 July 1999 (1999-07-01) claim 1	1
	-/-	

☒ Further documents are listed in the continuation of box C

☒ Patent family members are listed in annex

* Special categories of cited documents:

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document relating to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *S* document member of the same patent family

Date of the actual completion of the international search

18 April 2000

Date of mailing of the international search report

03.05.00

Name and mailing address of the ISA

European Patent Office, P. B. 5818 Patentplan 2
NL - 2280 HV Rijswijk
Tel (+31-70) 340-2040, Tx. 31 651 epo nl
Fax (+31-70) 340-3016

Authorized officer

Goss, I

INTERNATIONAL SEARCH REPORT

International Application No.

PCT/US 99/29946

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No
A	<p>KUNITADA S ET AL: "FACTOR XA INHIBITORS" CURRENT PHARMACEUTICAL DESIGN,NL,BENTHAM SCIENCE PUBLISHERS, SCHIPHOL, vol. 2, no. 5, 1 October 1996 (1996-10-01), pages 531-542, XP002057653 ISSN: 1381-6128 page 6, left-hand column -page 10, left-hand column</p>	1,14
A	<p>KATAKURA ET AL: "Molecular model of an interaction between factor Xa and DX-9065a, a novel factor Xa inhibitor: contribution of the acetimidoylpyrrolidine moiety of the inhibitor to potency and selectivity for serine proteases". EUROPEAN JOURNAL OF MEDICINAL CHEMISTRY.CHIMICA THERAPEUTICA,FR,EDITIONS SCIENTIFIQUE ELSEVIER, PARIS, vol. 30, no. 5, 1995, pages 387-394, XP002098440 ISSN: 0223-5234 page 389, left-hand column -page 389</p>	1,14
A	<p>WO 96 28427 A (BERLEX LAB ;BUCKMAN BRAD O (US); DAVEY DAVID D (US); GUILFORD WILL) 19 September 1996 (1996-09-19) page 10 -page 11; claim 1</p>	1,14

INTERNATIONAL SEARCH REPORT

International application No.
PCT/US 99/29946

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

Although claim 13 is directed to a diagnostic method practised on the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

Int. National Application No.

PCT/US 99/29946

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
WO 9900128	A	07-01-1999	AU	8270298 A	19-01-1999
WO 9900121	A	07-01-1999	AU	8270898 A	19-01-1999
WO 9932477	A	01-07-1999	AU	1875999 A	12-07-1999
WO 9628427	A	19-09-1996	US	5691364 A	25-11-1997
			AU	707323 B	08-07-1999
			AU	5299496 A	02-10-1996
			CA	2214685 A	19-09-1996
			EP	0813525 A	29-12-1997
			US	6034103 A	07-03-2000
			US	5883100 A	16-03-1999
			US	5877181 A	02-03-1999
			US	5889005 A	30-03-1999

PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification 7 : C07D 401/14, 401/12, 417/14, 409/14, 405/14, 213/74, A61K 31/395, 31/435, 31/495, A61P 7/02 // (C07D 401/14, 213:00, 213:00, 211:00)		A1	(11) International Publication Number: WO 00/39118 (43) International Publication Date: 6 July 2000 (06.07.00)
(21) International Application Number: PCT/US99/29946 (22) International Filing Date: 15 December 1999 (15.12.99) (30) Priority Data: 60/113,556 23 December 1998 (23.12.98) US (71) Applicants (for all designated States except US): ELI LILLY AND COMPANY (US/US); Lilly Corporate Center, Indi- anapolis, IN 46285 (US). KYLE, Jeffrey, Alan (US/US); 10434 Collingswood Lane, Fishers, IN 46038 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): BEIGHT, Douglas, Wade (US/US); 3468 South County Road 600 West, Frankfort, IN 46041 (US). CRAFT, Trelia, Joyce (US/US); 10404 East 46th Street, Indianapolis, IN 46236 (US). DENNY, Carl, Penman (US/US); 327 Poplar Road, Indianapolis, IN 46219 (US). FRANCISKOVICH, Jeffry, Bernard (US/US); 5036 Quail Ridge Lane, Indianapolis, IN 46254 (US). GOOD- SON, Theodore, Junior (US/US); 4045 Deveen Drive, Indi- anapolis, IN 46226 (US). HALL, Steven, Edward (US/US); 102 Nuttall Place, Chapel Hill, NC 27514 (US). HERRON, David, Kent (US/US); 5945 Andover Road, Indianapolis,		IN 46220 (US). JOSEPH, Sajan, Pariyadan (IN/US); 625 Canal View Drive, Apartment 1, Indianapolis, IN 46202 (US). KLIMKOWSKI, Valentine, Joseph (US/US); 4504 Camelot Lane, Carmel, IN 46033 (US). MASTERS, John, Joseph (US/US); 12047 Flint Stone Court, Fishers, IN 46038 (US). MENDEL, David (US/US); 11348 Woods Bay Lane, Indianapolis, IN 46236 (US). MILOT, Guy [CA/US]; 2 Farrington Street, Foxborough, MA 02035 (US). PINEIRO-NUNEZ, Maria, Maria (ES/US); 364 Thornburg Parkway, Brownsburg, IN 46112 (US). SAWYER, Jason, Scott (US/US); 5718 North Winthrop Avenue, Indianapolis, IN 46220 (US). SHUMAN, Robert, Theodore (US/US); 180 Barcelona Road, Sedona, AZ 86336 (US). SMITH, Gerald, Floyd (US/US); 1848 Lanarkshire Drive, Greenwood, IN 46143 (US). TEBBE, Anne, Louise (US/US); 6202 North Sherman Drive, Indianapolis, IN 46220 (US). TINSLEY, Jennifer, Marie (US/US); 4542 State Road 39 North, Mar- tinsville, IN 46151 (US). WEIR, Leonard, Crayton (US/US); 6520 Englehardt Drive, Raleigh, NC 27613 (US). WIKEL, James, Howard (US/US); 4068 Sunshine Way, Greenwood, IN 46142 (US). WILEY, Michael, Robert (US/US); 7725 Langwood Drive, Indianapolis, IN 46268 (US). YEE, Ying, Kwong (US/US); 5127 Briarstone Trace, Carmel, IN 46033 (US). (81) Designated States: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (OH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published With international search report. Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.	
(54) Title: AROMATIC AMIDES			
(57) Abstract This application relates to a compound of formula I (or a pharmaceutically acceptable salt thereof) as defined herein, pharmaceutical compositions thereof, and its use as an inhibitor of factor Xa, as well as a process for its preparation and intermediates therefor.			
"Express Mail" mailing label number <u>EL 832897745 US</u> Date of Deposit: <u>7-29-03</u> I hereby certify that this paper or fee is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 C.F.R. 110 on the date indicated above and is addressed to the Commissioner for Patent, P.O. Box 1450, Alexandria, VA. 22313-1450 <u>Green Thomas</u> (Typed or Printed name of person mailing paper) (Signature of person mailing paper or fee)			

FOR THE PURPOSES OF INFORMATION ONLY

Codes used to identify States party to the PCT on the front pages of pamphlets publishing international applications under the PCT.

AL	Albania	ES	Spain	LS	Lesotho	SI	Slovenia
AM	Armenia	FI	Finland	LT	Lithuania	SK	Slovakia
AT	Austria	FR	France	LU	Luxembourg	SN	Senegal
AU	Australia	GA	Gabon	LV	Latvia	SZ	Swaziland
AZ	Azerbaijan	GB	United Kingdom	MC	Monaco	TD	Chad
BA	Bosnia and Herzegovina	GE	Georgia	MD	Republic of Moldova	TG	Togo
BB	Barbados	GH	Ghana	MG	Madagascar	TJ	Tajikistan
BE	Belgium	GN	Guinea	MK	The former Yugoslav Republic of Macedonia	TM	Turkmenistan
BF	Burkina Faso	GR	Greece			TR	Turkey
BG	Bulgaria	HU	Hungary	ML	Mali	TT	Trinidad and Tobago
BJ	Benin	IE	Ireland	MN	Mongolia	UA	Ukraine
BR	Brazil	IL	Israel	MR	Mauritania	UG	Uganda
BY	Belarus	IS	Iceland	MW	Malawi	US	United States of America
CA	Canada	IT	Italy	MX	Mexico	UZ	Uzbekistan
CF	Central African Republic	JP	Japan	NE	Niger	VN	Viet Nam
CG	Congo	KE	Kenya	NL	Netherlands	YU	Yugoslavia
CH	Switzerland	KG	Kyrgyzstan	NO	Norway	ZW	Zimbabwe
CI	Côte d'Ivoire	KP	Democratic People's Republic of Korea	NZ	New Zealand		
CM	Cameroon			PL	Poland		
CN	China	KR	Republic of Korea	PT	Portugal		
CU	Cuba	KZ	Kazakhstan	RO	Romania		
CZ	Czech Republic	LC	Saint Lucia	RU	Russian Federation		
DE	Germany	LJ	Liechtenstein	SD	Sudan		
DK	Denmark	LK	Sri Lanka	SE	Sweden		
EE	Estonia	LR	Liberia	SG	Singapore		